

CLAIMS

1. Peptide derived from an antibiotic peptide or an  
analogue thereof, characterised in that it is devoid of a  
5 disulphide bond.

2. Peptide derived from an antibiotic peptide or an  
analogue thereof, characterised in that all the cysteine  
residues, optionally except one, are removed, replaced by  
10 another amino acid residue or blocked at their SH group  
level.

3. Linear peptide according to any one of claims 1 to  
2, characterised in that it meets one of the following  
15 formulas

Baa Xaa Xaa Baa Xaa Xaa Xaa Xaa Baa Baa Baa Xaa  
Xaa Xaa Xaa Xaa Baa (I) (SEQ ID NO:11)

Baa Baa Xaa Xaa Xaa Baa Xaa Xaa Xaa Baa Xaa Xaa  
Xaa Baa Baa Xaa Baa (II) (SEQ ID NO:12)

20 in which

- the Baa groups, identical or different, represent an  
amino acid residue whose side chain carries a base group,  
and

25 - groups Xaa, identical or different, represent an  
aliphatic or aromatic amino acid residue, or in that it  
is made up of a succession of at least 5, preferably at  
least 7, successive amino acids of either of formulas (I)  
or (II).

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4. Linear peptide according to claim 3,  
characterised in that the Baa groups are chosen from  
among arginine, lysine, diaminoacetic acid,

diaminobutyric acid, diaminopropionic acid,  
ornithine.

5. Linear peptide according to one of claims 3 to 4,  
characterised in that the Xaa groups are chosen from  
among glycine, alanine, valine, norleucine, isoleucine,  
leucine, cysteine, cysteine<sup>Acm</sup>, penicillamine, methionine,  
serine, threonine, asparagine, glutamine, phenylalanine,  
histidine, tryptophan, tyrosine, proline, Amino butyric  
acid, carboxylic amino-1-cyclohexane acid, Amino  
isobutyric acid, carboxylic 2-aminotetraline, 4-  
bromophenylalanine, tert-Leucine, 4-chlorophenylalanine,  
 $\beta$ -cyclohexylalanine, 3,4-dichlorophenylalanine, 4-  
fluorophenylalanine, homoleucine,  $\beta$ -homoleucine,  
homophenylalanine, 4-methylphenylalanine, 1-  
naphthylalanine, 2-naphthylalanine, 4-nitrophenylalanine,  
3-nitrotyrosine, norvaline, phenylglycine, 3-  
pyridylalanine, and  $\beta$ -(2-Thienyl)-alanine.

6. Linear peptide according to any one of claims 1 to  
5, characterised in that it meets one of the following  
formulas

Arg Xaa Xaa Arg Xaa Uaa Xaa Uaa Arg Arg Arg Xaa  
Uaa Xaa Uaa Xaa Xaa Arg -NH<sub>2</sub> (V) (SEQ ID NO : 13)

Arg Arg Xaa Uaa Xaa Arg Xaa Uaa Xaa Arg Xaa Xaa  
Uaa Xaa Arg Arg Uaa Arg -NH<sub>2</sub> (VI) (SEQ ID NO : 14)

in which :

- Uaa represents serine or threonine,
- the Xaa groups, identical or different,

represent an amino acid which may or may not be natural,  
including D-amino acids, either aliphatic or aromatic,  
such as glycine, alanine, valine, norleucine, isoleucine,  
leucine, cysteine, cysteine<sup>Acm</sup>, penicillamine, methionine,

serine, threonine, asparagine, glutamine, phenylalanine, histidine, tryptophan, tyrosine, proline, Amino butyric acid, carboxylic amino-1-cyclohexane acid, Amino isobutyric acid, carboxylic 2-aminotetraline, 4-  
 5 bromophenylalanine, tert-Leucine, 4-chlorophenylalanine,  $\beta$ -cyclohexylalanine, 3,4-dichlorophenylalanine, 4-fluorophenylalanine, homoleucine,  $\beta$ -homoleucine, homophenylalanine, 4-methylphenylalanine, 1-naphthylalanine, 2-naphthylalanine, 4-nitrophenylalanine,  
 10 3-nitrotyrosine, norvaline, phenylglycine, 3-pyridylalanine, and  $\beta$ -(2-Thienyl)-alanine.

7. Linear peptide according to any one of claims 1 to 6, having the following sequences

15 Arg Gly Gly Arg Leu Ser Tyr Ser Arg Arg Arg  
 Phe Ser Val Ser Val Gly Arg (SEQ ID NO : 15),  
 Arg Gly Val Ser Val Ser Phe Arg Arg Arg Ser  
 Tyr Ser Leu Arg Gly Gly Arg (SEQ ID NO : 17),  
 Glu Gly Gly Glu Leu Ser Tyr Ser Glu Glu Glu  
 20 Phe Ser Val Ser Val Gly Glu (SEQ ID NO : 18),  
 Arg Gly Gly Arg Leu Ala Tyr Arg Leu Leu Arg  
 Phe Ala Ile Arg Val Gly Arg (SEQ ID NO : 19),  
 Oaa Gly Gly Oaa Xaa Xaa Baa Oaa Xaa Xaa Oaa  
 Baa Xaa Xaa Xaa Oaa Xaa Gly (SEQ ID NO : 20),  
 25 Arg Ala Ala Arg Leu Gly Tyr Arg Xaa Xaa Arg  
 Phe Gly Zaa Arg Val Gly Arg (SEQ ID NO : 21),  
 Tyr Arg Arg Arg Phe Ser Val Ser Val Arg (SEQ  
 ID NO : 22),  
 Arg Arg Leu Ser Tyr Ser Arg Arg Arg Phe (SEQ  
 30 ID NO : 23),  
 Arg Arg Leu Ser Tyr Ser Arg Arg Arg Phe Ser  
 Val Ser Val Arg (SEQ ID NO : 24),  
 Arg Gly Gly Arg Leu Ser Tyr Ser Arg Arg Arg Phe  
 Ser Thr Ser Thr Gly Arg (SEQ ID NO : 25),

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in which

Baa represents Napthylalanine,  
 Oaa represents Ornithine,  
 Xaa represents Norleucine, and  
 Zaa represents Norvaline.

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8. Linear peptide according to any one of claims 1 to 6, having the following sequences

Lys Trp Ser Phe Arg Val Ser Tyr Arg Gly Ile  
 Ser Tyr Arg Arg Ser Arg (SEQ ID NO : 26),

10 Arg Trp Ser Phe Arg Val Ser Tyr Arg Gly Ile  
 Ser Tyr Arg Arg Ser Arg (SEQ ID NO : 27),

Arg Ser Arg Arg Tyr Ser Ile Gly Arg Tyr Ser  
 Val Arg Phe Ser Trp Lys (SEQ ID NO : 30),

15 Oaa Baa Xaa Baa Oaa Xaa Xaa Baa Oaa Gly Xaa  
 Oaa Baa Xaa Xaa Oaa Xaa (SEQ ID NO : 31),

Lys Trp Ala Phe Arg Val Ala Tyr Arg Gly Ile  
 Arg Tyr Leu Leu Arg Leu (SEQ ID NO : 32),

Lys Tyr Ala Trp Arg Val Ala His Arg Gly Ile  
 Arg Trp Leu Leu Arg Xaa (SEQ ID NO : 33)

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in which :

Baa represents Napthylalanine,

Oaa represents Ornithine,

Xaa represents Norleucine, and

Zaa represents Norvaline.

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9. Use of an antibiotic peptide or an analogue thereof, devoid of a disulphide bond, to vector active substances in an organism.

30 10. Use of a peptide according to any one of claims 1 to 8 to vector active substances in an organism.

11. Compound with the following formula (IV)

$(Y)_n$  (A)  $(Z)_m$   $IV_m$  (IV)

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in which :

- A represents a linear peptide derived from

an antibiotic peptide or from an analogue thereof,

- Z represents an active substance
- 5        - Y represents a signal agent
- n is 0 or more, advantageously 0 or 1,
- 10       - m is 1 or more, preferably up to 10,  
advantageously up to 5.

12. Compound of formula (IV) in which A is defined as in any one of claims 1 to 8.

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13. Compound according to one of claims 11 or 12, characterised in that the coupling between the linear

peptide (A) and group (Z) or groups (Z) and (Y) is made  
20 by one or more covalent, hydrophobic or ionic bonds.

14. Compound according to any one of claims 11 to 13, characterised in that at least one of the active substances (Z) is attached by a covalent bond either to  
25 the N-terminal or C-terminal ends or to the primary amino groups, carried by the side chains of the lysines, of linear peptide (A).

15. Compound according to any one of claims 11 to 14,  
30 characterised in that at least one signal agent (Y), if present, is attached by a covalent bond to the N-terminal end of linear peptide (A).

16. Pharmaceutical composition, characterised in that as active ingredient it comprises at least one compound of formula (IV) according to any one of claims 11 to 15.

- 5 17. Diagnostic agent made up of at least one compound of formula (TV) according to any one of claims 11 to 15.